

09/743,873

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L8 ANSWER 1 OF 1 CAPLUS COPYRIGHT 2002 ACS  
AN 2000:68360 CAPLUS  
DN 132:127703  
TI Water-soluble geldanamycin derivatives and methods for their production  
and cancer treatment  
IN Ho, David K.; Mandler, Raya; Alvarado-Lindner, Ada Belinda; Upadhyay,  
Kaye B. Dillah; Newman, David J.  
PA United States Dept. of Health and Human Services, USA  
SO PCT Int. Appl., 60 pp.  
CODEN: PIXXD2  
DT Patent  
LA English  
FAN.CNT 1

	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI	WO 2000003737	A2	20000127	WO 1999-US16199	19990715
	WO 2000003737	A3	20000420		
	W:	AE, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, CA, CH, CN, CU, CZ, DE, DK, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MD, MG, MK, MN, MW, MX, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, UA, UG, US, UZ, VN, YU, ZA, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM			
	RW:	GH, GM, KE, LS, MW, SD, SL, SZ, UG, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI, CM, GA, GN, GW, ML, MR, NE, SN, TD, TG			
	AU 9951091	A1	20000207	AU 1999-51091	19990715
	EP 1098666	A2	20010516	EP 1999-935659	19990715
	R:	AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO			
	JP 2002520369	T2	20020709	JP 2000-559871	19990715
PRAI	US 1998-93284P	P	19980717		
	WO 1999-US16199	W	19990715		

AB The present invention provides water-sol. drugs, in particular, water-sol. analogs of geldanamycin, and compns. comprising the same. This invention also provides a method of rendering water-insol. drugs sol. in water through derivatization with a bifunctional linking mol. and subsequent conjugation to a polar moiety through a thio ether. The present invention further provides a method of treating cancer in a mammal. Thus, 17-GMB-aminopropylaminogeldanamycin (prepn. given) was reacted with L-cysteine to give 17-cys-GMB-aminopropylaminogeldanamycin which was water-sol. Growth inhibitory efficacy of sol. geldanamycin derivs. against cancer cells is shown.

IT 256337-11-8P  
RL: PRP (Properties); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)  
(water-sol. geldanamycin derivs. and methods for their prodn. and cancer treatment)

RN 256337-11-8 CAPLUS

CN Geldanamycin,

17-[[[3-[[4-[3-[[[(2R)-2-amino-2-carboxyethyl]thio]-2,5-dioxo-1-pyrrolidinyl]-1-oxobutyl]amino]propyl]amino]-17-demethoxy- (9CI) (CA

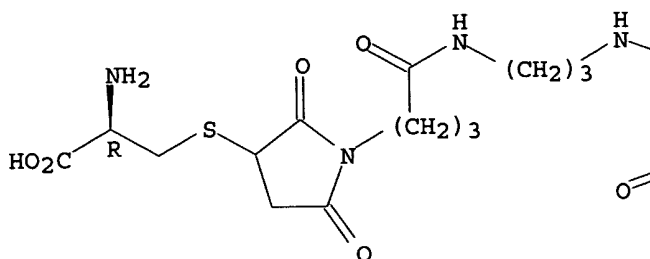
540/461  
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09/743,873

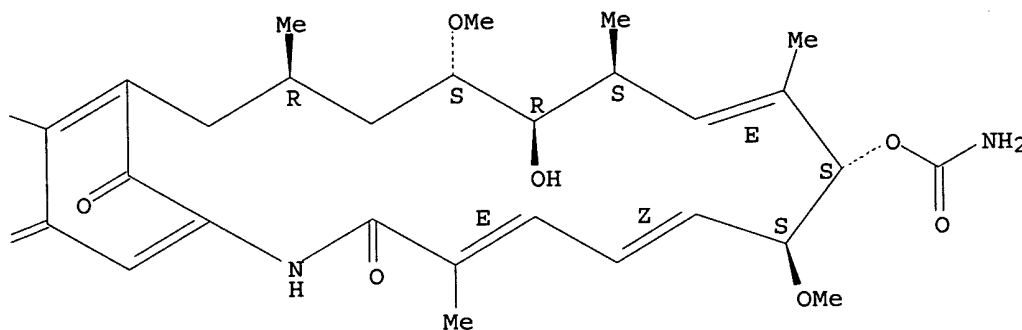
INDEX NAME)

Absolute stereochemistry.  
Double bond geometry as described by E or Z.

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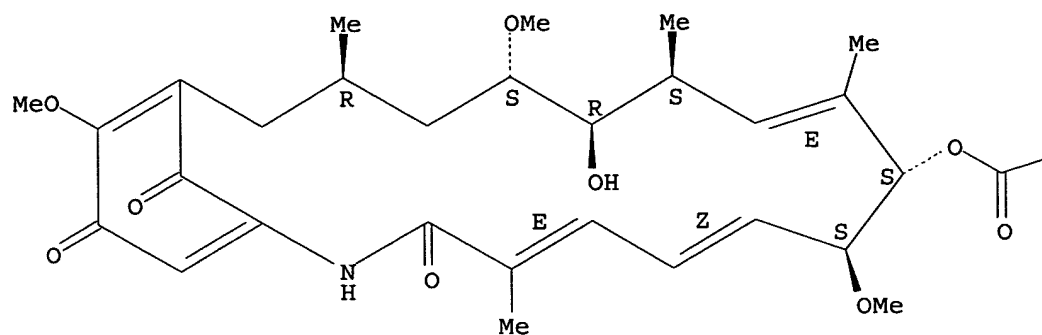
IT 30562-34-6, Geldanamycin 103708-09-4 103708-10-7  
103848-62-0 158018-81-6 185332-92-7

RL: RCT (Reactant); RACT (Reactant or reagent)  
(water-sol. geldanamycin derivs. and methods for their prodn. and  
cancer treatment)

RN 30562-34-6 CAPLUS

CN Geldanamycin (9CI) (CA INDEX NAME)

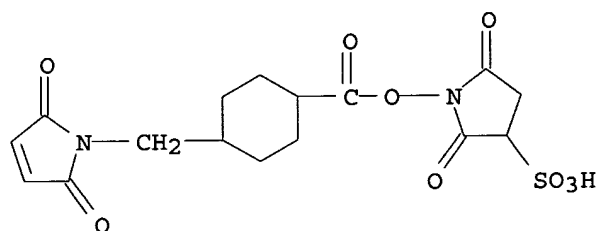
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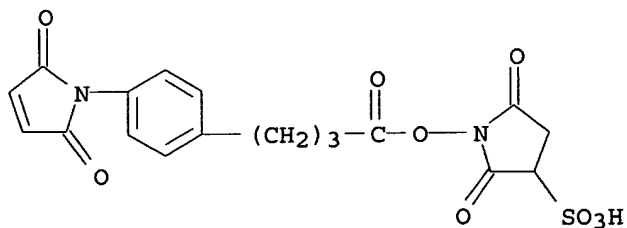
RN 103708-09-4 CAPLUS

CN 3-Pyrrolidinesulfonic acid, 1-[[[4-[(2,5-dihydro-2,5-dioxo-1H-pyrrol-1-yl)methyl]cyclohexyl]carbonyl]oxy]-2,5-dioxo- (9CI) (CA INDEX NAME)



RN 103708-10-7 CAPLUS

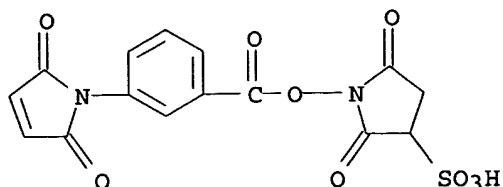
CN 3-Pyrrolidinesulfonic acid, 1-[4-[4-(2,5-dihydro-2,5-dioxo-1H-pyrrol-1-yl)phenyl]-1-oxobutoxy]-2,5-dioxo- (9CI) (CA INDEX NAME)



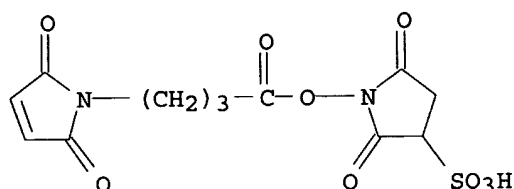
RN 103848-62-0 CAPLUS

CN 3-Pyrrolidinesulfonic acid, 1-[[[3-(2,5-dihydro-2,5-dioxo-1H-pyrrol-1-yl)benzoyl]oxy]-2,5-dioxo- (9CI) (CA INDEX NAME)

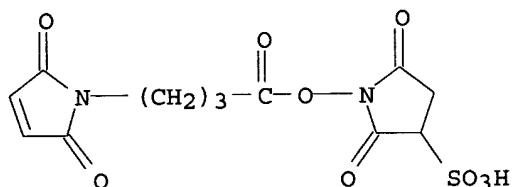
09/743,873



RN 158018-81-6 CAPLUS  
CN 3-Pyrrolidinesulfonic acid,  
1-[4-(2,5-dihydro-2,5-dioxo-1H-pyrrol-1-yl)-1-oxobutoxy]-2,5-dioxo- (9CI) (CA INDEX NAME)



RN 185332-92-7 CAPLUS  
CN 3-Pyrrolidinesulfonic acid,  
1-[4-(2,5-dihydro-2,5-dioxo-1H-pyrrol-1-yl)-1-oxobutoxy]-2,5-dioxo-, sodium salt (9CI) (CA INDEX NAME)



● Na

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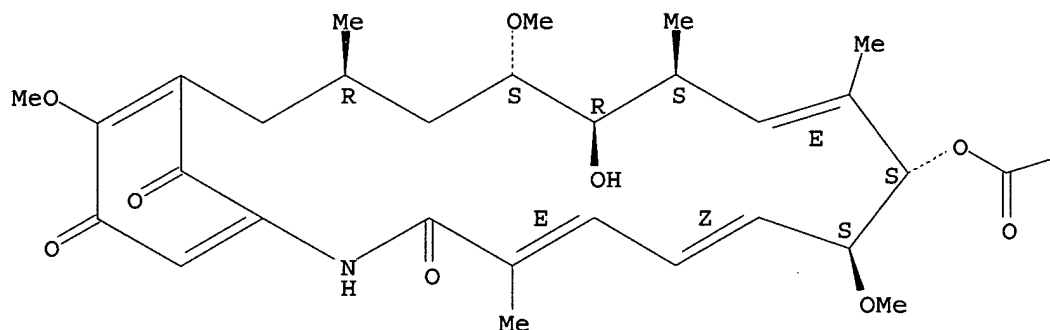
L2 ANSWER 1 OF 1 REGISTRY COPYRIGHT 2002 ACS  
RN 30562-34-6 REGISTRY  
CN Geldanamycin (9CI) (CA INDEX NAME)  
OTHER CA INDEX NAMES:  
CN 2-Azabicyclo[16.3.1]docosa-4,6,10,18,21-pentaene-3,20,22-trione,  
9,13-dihydroxy-8,14,19-trimethoxy-4,10,12,16-tetramethyl-, 9-carbamate

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(8CI)  
CN 2-Azabicyclo[16.3.1]docosane, geldanamycin deriv.  
OTHER NAMES:  
CN 2-Azabicyclo[16.3.1]docosa-4,6,10,18,21-pentaene-3,20,22-trione,  
9-[(aminocarbonyl)oxy]-13-hydroxy-8,14,19-trimethoxy-4,10,12,16-  
tetramethyl-, [8S-(4E,6Z,8R\*,9R\*,10E,12R\*,13S\*,14R\*,16S\*)]-  
CN NSC 122750  
CN [8S-(4E,6Z,8R\*,9R\*,10E,12R\*,13S\*,14R\*,16S\*)]-9-[(Aminocarbonyl)oxy]-13-  
hydroxy-8,14,19-trimethoxy-4,10,12,16-tetramethyl-2-  
azabicyclo[16.3.1]docosa-4,6,10,18,21-pentaene-3,20,22-trione  
FS STEREOSEARCH  
DR 31828-93-0  
MF C29 H40 N2 O9  
CI COM  
LC STN Files: ADISINSIGHT, ADISNEWS, AGRICOLA, BEILSTEIN\*, BIOBUSINESS,  
BIOSIS, BIOTECHNO, CA, CANCERLIT, CAPLUS, CASREACT, CHEMCATS, CIN,  
CSCHEM, DDFU, DRUGU, EMBASE, IFICDB, IFIPAT, IFIUDB, IPA, MEDLINE,  
NAPRALERT, PROMT, RTECS\*, SPECINFO, TOXCENTER, USPAT2, USPATFULL  
(\*File contains numerically searchable property data)

Absolute stereochemistry.  
Double bond geometry as described by E or Z.

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PAGE 1-B

—NH<sub>2</sub>

\*\*PROPERTY DATA AVAILABLE IN THE 'PROP' FORMAT\*\*

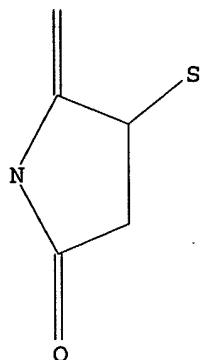
211 REFERENCES IN FILE CA (1967 TO DATE)  
11 REFERENCES TO NON-SPECIFIC DERIVATIVES IN FILE CA  
211 REFERENCES IN FILE CAPLUS (1967 TO DATE)

L3 HAS NO ANSWERS

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STR



Structure attributes must be viewed using STN Express query preparation.

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L2 1 S GELDANAMYCIN/CN  
L3 STRUCTURE UPLOADED  
L4 50 S L3  
L5 3920 S L3 FULL

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L6 211 S L2  
L7 1284 S L5  
L8 1 S L6 AND L7

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FILE 'CAPLUS' ENTERED AT 18:53:20 ON 04 SEP 2002

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